ABSTRACT

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Imiquimod (IMQ) is a compound of the class of heterocyclic imidazoquinolines. It exhibits significant immunomodulatory effects after topical dermal application, thus it is used advantageously in the treatment of various viral or neoplastic skin diseases. The traded preparation Aldara® containing 5% of the active substance IMQ has, despite its undeniable benefits in treatment, also a number of negative features: the price, the need for single use packets, side effects...

The aim of this work was to formulate a stable dosage form for dermal administration of lower IMQ content (1%) and to compare the penetration and permeation rate of IMQ to human skin *in vitro*. To improve those qualities, permeation accelerants were used.

Experiments were carried out in Franz's diffusion cells on human skin, with the aim of creating conditions as close as possible to those physiological. Using adhesive tapes, individual layers of the uppermost skin section (*stratum corneum*) were stripped, epidermis was separated from dermis and the treated tissue from the untreated one. The amount of IMQ in separated skin layers was analysed by HPLC.

The evaluation was carried out in two application schemes: eight and twenty-four hours after the sample was applied. Most samples showed at least the same or higher IMQ levels in the epidermis after eight hours, compared with the control (Aldara®), even at half the amount of IMQ loaded. Promising formulations were those with predominant aqueous component (water or acetate buffer) with 2-Pro as an accelerant of and sorbitan oleate as an emulsifier (increase over Aldara® 2.5 and 1.7x respectively). This was confirmed by skin analysis after 24 hours when the difference in control vs. the IMQ dispersion with sorbitan and 2-Pro increased 7.8x, compared to epidermis concentration of IMQ after eight hours.